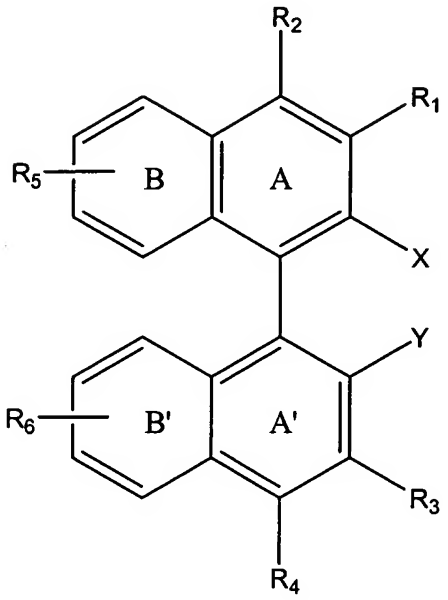
Claims

Claims 1-8 **(canceled)**

9. **(previously presented)** The ligand represented by structure **3**:



3

wherein

X and Y represent, independently for each occurrence, NR_2, PR_2, AsR_2, OR, or SR;

R, R_1, R_2, R_3, and R_4, for each occurrence, independently represent hydrogen, halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxyl, silyloxy, amino, nitro, sulfhydryl, alkylthio, imine, amide, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, nitrile, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, azide, aziridine, carbamate, epoxide, hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea, thiourea, or $-(CH_2)_m-R_{80}$;

R_5 and R_6, for each occurrence, independently represent halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxyl, silyloxy, amino, nitro, sulfhydryl, alkylthio, imine, amide,

phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, nitrile, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, azide, aziridine, carbamate, epoxide, hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea, thiourea, or $-(CH_2)_m-R_{80}$;

the B and B' rings of the binaphthyl core independently may be unsubstituted or substituted with R_5 and R_6, respectively, any number of times up to the limitations imposed by stability and the rules of valence;

R_1 and R_2, and/or R_3 and R_4, taken together optionally represent a ring consisting of a total of 5-7 atoms in the backbone of said ring; of which atoms zero, one or two atoms are heteroatoms; and said ring is substituted or unsubstituted;

R_{80} represents an unsubstituted or substituted aryl, a cycloalkyl, a cycloalkenyl, a heterocycle, or a polycycle;

m is an integer in the range 0 to 8 inclusive; and

the ligand, when chiral, is a mixture of enantiomers or a single enantiomer.

10. **(previously presented)** The ligand of claim 9, wherein:

X and Y are not identical;

R is selected, independently for each occurrence, from the group consisting of alkyl, heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, and $-(CH_2)_m-R_{80}$;

R_1, R_2, R_3, and R_4 are selected, independently for each occurrence, from the group consisting of H, alkyl, heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, halogen, $-SiR_3$, and $-(CH_2)_m-R_{80}$; and

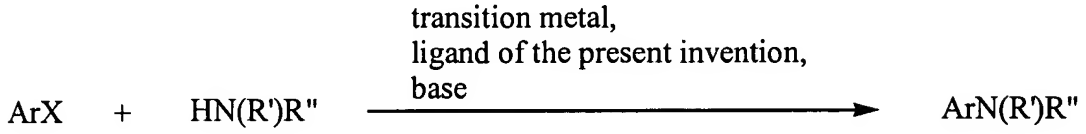
R_5 and R_6 are selected, independently for each occurrence, from the group consisting of alkyl, heteroalkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, halogen, $-SiR_3$, and $-(CH_2)_m-R_{80}$.

11. **(previously presented)** The ligand of claim 9, wherein X is NR_2; and Y is PR_2.

12. **(previously presented)** The ligand of claim 11, wherein R is independently for each occurrence alkyl or cycloalkyl.

Claims 13-20 **(canceled)**

21. **(previously presented)** The method depicted in Scheme 1:



Scheme 1

wherein

Ar is selected from the group consisting of optionally substituted monocyclic and polycyclic aromatic and heteroaromatic moieties;

X is selected from the group consisting of Cl, Br, I, $-OS(O)_2$alkyl, and $-OS(O)_2$aryl;

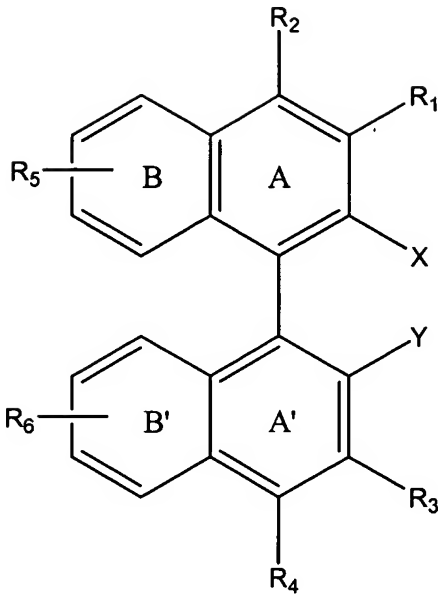
R' and R" are selected, independently for each occurrence, from the group consisting of H, alkyl, heteroalkyl, aryl, heteroaryl, aralkyl, alkoxyl, amino, trialkylsilyl, and triarylsilyl;

R' and R", taken together, optionally form an unsubstituted or substituted ring consisting of 3-10 backbone atoms inclusive; of which atoms zero, one or two atoms are heteroatoms beyond the nitrogen to which R' and R" are bonded;

R' and/or R" may be covalently linked to Ar;

the transition metal is selected from the group consisting of the Group VIIIA metals;

the ligand is selected from the group consisting of a compound represented by **3**:



3

wherein

X and Y represent, independently for each occurrence, NR_2, PR_2, AsR_2, OR, or SR;

R, R_1, R_2, R_3, and R_4, for each occurrence, independently represent hydrogen, halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxyl, silyloxy, amino, nitro, sulfhydryl, alkylthio, imine, amide, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, nitrile, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, azide, aziridine, carbamate, epoxide, hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea, thiourea, or $-(CH_2)_m-R_{80}$;

R_5 and R_6, for each occurrence, independently represent halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxyl, silyloxy, amino, nitro, sulfhydryl, alkylthio, imine, amide, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, nitrile, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, azide, aziridine,

carbamate, epoxide, hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea, thiourea, or $-(CH_2)_m-R_{80}$;

the B and B' rings of the binaphthyl core independently may be unsubstituted or substituted with R_5 and R_6, respectively, any number of times up to the limitations imposed by stability and the rules of valence;

R_1 and R_2, and/or R_3 and R_4, taken together optionally represent a ring consisting of a total of 5-7 atoms in the backbone of said ring; of which atoms zero, one or two atoms are heteroatoms; and said ring is substituted or unsubstituted;

R_{80} represents an unsubstituted or substituted aryl, a cycloalkyl, a cycloalkenyl, a heterocycle, or a polycycle;

m is an integer in the range 0 to 8 inclusive;

the ligand, when chiral, is a mixture of enantiomers or a single enantiomer; and

the base is selected from the group consisting of hydrides, carbonates, phosphates, alkoxides, amides, carbanions, and silyl anions.

22. **(previously presented)** The method of claim 21, wherein:

the transition metal is palladium; and

the base is an alkoxide, amide, phosphate, or carbonate.

23. **(previously presented)** The method of claim 21 or 22, wherein:

X is $N(alkyl)_2$, and Y represents $P(alkyl)_2$ or $P(cycloalkyl)_2$; and

X represents Cl or Br.

24. **(previously presented)** The method of claim 21, wherein:

Y represents $P(alkyl)_2$ or $P(cycloalkyl)_2$; X represents $N(alkyl)_2$;

the transition metal is palladium; and

the base is an alkoxide, amide, phosphate, or carbonate.

25. **(previously presented)** The method of claim 24, wherein:

X represents Cl or Br.

26. **(previously presented)** The method of claim 21, wherein HN(R')R" represents an optionally substituted heteroaromatic compound.

27. **(previously presented)** The method of claim 21, wherein: X represents Cl; Y represents $P(t\text{-}Bu)_2$ or PCy_2; X represents NMe_2; the transition metal is palladium; and the base is an alkoxide, amide, phosphate, or carbonate.

28. **(previously presented)** The method of claim 21, wherein: X represents Br or I; Y represents $P(t\text{-}Bu)_2$ or PCy_2; X represents NMe_2; the transition metal is palladium; the base is an alkoxide, amide, phosphate, or carbonate; and the transformation occurs at room temperature.

29. **(previously presented)** The method of claim 21, wherein: R_1, R_2, R_3, R_4, R_5, R_6, R_7, and R_8, independently for each occurrence represent hydrogen; the transition metal is palladium; and the base is an alkoxide, amide, phosphate, or carbonate.

30. **(previously presented)** The method of claim 21, wherein: X represents Cl; R_1, R_2, R_3, R_4, R_5, R_6, R_7, and R_8, independently for each occurrence represent hydrogen; the transition metal is palladium; and the base is an alkoxide, amide, phosphate, or carbonate.

31. **(canceled)**

32. **(previously presented)** The method of claim 21, wherein: the transition metal is palladium; and the base is an alkoxide or phosphate.

33. **(previously presented)** The method of claim 21, wherein: X represents Cl; R_1, R_2, R_3, R_4, R_5, R_6, R_7, and R_8 represent hydrogen; Y represents $P(t\text{-}Bu)_2$ or PCy_2; X represents NMe_2; the transition metal is palladium; and the base is an alkoxide or phosphate.

34. **(previously presented)** The method of claim 21, wherein R_1, R_2, R_3, R_4, R_5, R_6, R_7, and R_8 represent hydrogen; Y represents $P(t\text{-}Bu)_2$ or PCy_2; X represents NMe_2; the transition metal is palladium; and the base is sodium tert-butoxide or potassium phosphate.

35. **(original)** The method of claim 21, wherein the product is provided in a yield of greater than 50%.

36. **(original)** The method of claim 21, wherein the product is provided in a yield of greater than 70%.

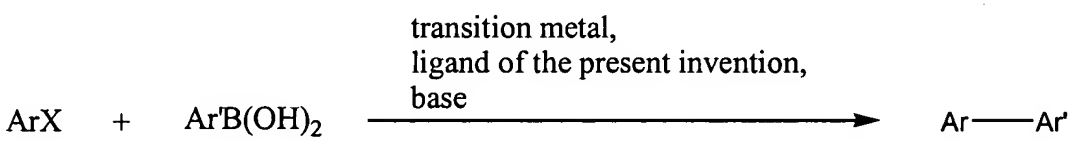
37. **(original)** The method of claim 21, wherein the product is provided in a yield of greater than 85%.

38. **(original)** The method of claim 21, wherein the reaction occurs at ambient temperature.

39. **(original)** The method of claim 21, wherein the catalyst complex is present in less than 0.01 mol% relative to the limiting reagent.

40. **(original)** The method of claim 21, wherein the catalyst complex is present in less than 0.0001 mol% relative to the limiting reagent.

41. **(previously presented)** The method depicted in Scheme 2:



Scheme 2

wherein

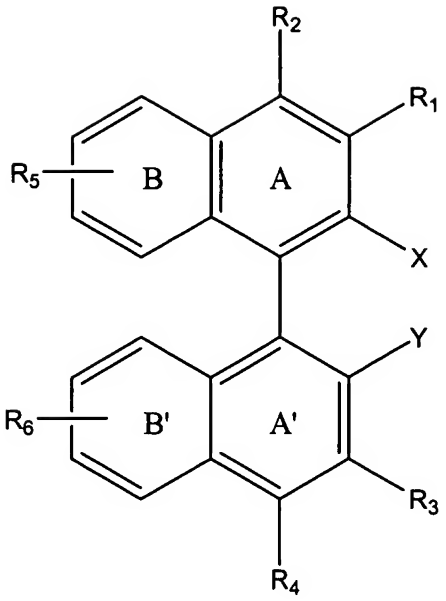
Ar and Ar' are independently selected from the group consisting of optionally substituted monocyclic and polycyclic aromatic and heteroaromatic moieties;

X is selected from the group consisting of Cl, Br, I, -$OS(O)_2$alkyl, and -$OS(O)_2$aryl;

Ar and Ar' may be covalently linked;

the transition metal is selected from the group consisting of the Group VIIIA metals;

the ligand is selected from the group consisting of a compound represented by **3**:



3

wherein

X and Y represent, independently for each occurrence, NR_2, PR_2, AsR_2, OR, or SR;

R, R_1, R_2, R_3, and R_4, for each occurrence, independently represent hydrogen, halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxyl, silyloxy, amino, nitro, sulfhydryl, alkylthio, imine, amide, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, nitrile, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, azide, aziridine, carbamate, epoxide, hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea, thiourea, or $-(CH_2)_m-R_{80}$;

R_5 and R_6, for each occurrence, independently represent halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxyl, silyloxy, amino, nitro, sulfhydryl, alkylthio, imine, amide, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, nitrile, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, azide, aziridine,

carbamate, epoxide, hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea, thiourea, or $-(CH_2)_m-R_{80}$;

the B and B' rings of the binaphthyl core independently may be unsubstituted or substituted with R_5 and R_6, respectively, any number of times up to the limitations imposed by stability and the rules of valence;

R_1 and R_2, and/or R_3 and R_4, taken together optionally represent a ring consisting of a total of 5-7 atoms in the backbone of said ring; of which atoms zero, one or two atoms are heteroatoms; and said ring is substituted or unsubstituted;

R_{80} represents an unsubstituted or substituted aryl, a cycloalkyl, a cycloalkenyl, a heterocycle, or a polycycle;

m is an integer in the range 0 to 8 inclusive;

the ligand, when chiral, is a mixture of enantiomers or a single enantiomer; and

the base is selected from the group consisting of carbonates, phosphates, fluorides, alkoxides, amides, carbanions, and silyl anions.

42. **(previously presented)** The method of claim 41, wherein

the transition metal is palladium; and

the base is an alkoxide, amide, fluoride, phosphate, or carbonate.

43. **(previously presented)** The method of claim 41 or 42, wherein

X is NR_2, and Y represents $P(alkyl)_2$ or $P(cycloalkyl)_2$; and

X represents Cl or Br.

44. **(previously presented)** The method of claim 41, wherein:

the transition metal is palladium;

Y represents $P(alkyl)_2$ or $P(alkyl)_2$; X represents $N(alkyl)_2$; and

the base is an alkoxide, amide, carbonate, phosphate, or fluoride.

45. **(previously presented)** The method of claim 44, wherein:

X represents Cl or Br; and

the reaction occurs at room temperature.

46. **(previously presented)** The method of claim 41, wherein R_1, R_2, R_3, R_4, R_5, R_6, R_7, and R_8 represent hydrogen; Y represents $P(t\text{-}Bu)_2$ or PCy_2; X represents NMe_2; the transition metal is palladium; and the base is cesium fluoride or potassium fluoride.

47. **(original)** The method of claim 41, wherein the product is provided in a yield of greater than 50%.

48. **(original)** The method of claim 41, wherein the product is provided in a yield of greater than 70%.

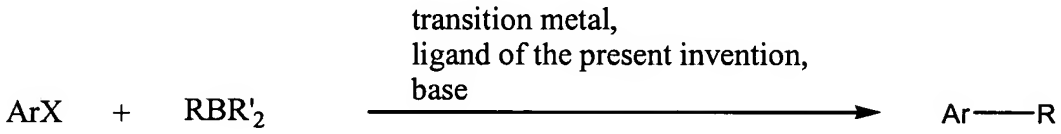
49. **(original)** The method of claim 41, wherein the product is provided in a yield of greater than 85%.

50. **(original)** The method of claim 41, wherein the reaction occurs at ambient temperature.

51. **(original)** The method of claim 41, wherein the catalyst complex is present in less than 0.01 mol% relative to the limiting reagent.

52. **(original)** The method of claim 41, wherein the catalyst complex is present in less than 0.0001 mol% relative to the limiting reagent.

53. **(previously presented)** The method depicted in Scheme 3:



Scheme 3

wherein

Ar is selected from the group consisting of optionally substituted monocyclic and polycyclic aromatic and heteroaromatic moieties;

R is selected from the group consisting of optionally substituted alkyl, heteroalkyl, and aralkyl;

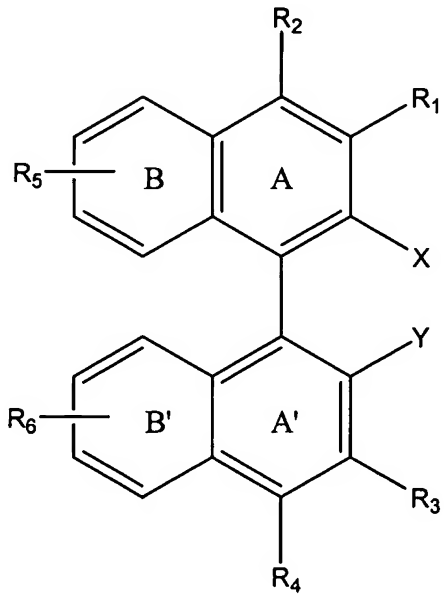
R' is selected, independently for each occurrence, from the group consisting of alkyl and heteroalkyl; the carbon-boron bond of said alkyl and heteroalkyl groups being inert under the reaction conditions;

X is selected from the group consisting of Cl, Br, I, $-OS(O)_2$alkyl, and $-OS(O)_2$aryl;

Ar and R may be covalently linked;

the transition metal is selected from the group consisting of the Group VIIIA metals;

the ligand is selected from the group consisting of a compound represented by **3**:



3

wherein

X and Y represent, independently for each occurrence, NR_2, PR_2, AsR_2, OR, or SR;

R, R_1, R_2, R_3, and R_4, for each occurrence, independently represent hydrogen, halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxyl, silyloxy, amino, nitro, sulfhydryl, alkylthio, imine, amide, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, nitrile, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, azide, aziridine, carbamate, epoxide, hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea, thiourea, or $-(CH_2)_m-R_{80}$;

R_5 and R_6, for each occurrence, independently represent halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxyl, silyloxy, amino, nitro, sulfhydryl, alkylthio, imine, amide, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, nitrile, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, azide, aziridine, carbamate, epoxide, hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea, thiourea, or $-(CH_2)_m-R_{80}$;

the B and B' rings of the binaphthyl core independently may be unsubstituted or substituted with R_5 and R_6, respectively, any number of times up to the limitations imposed by stability and the rules of valence;

R_1 and R_2, and/or R_3 and R_4, taken together optionally represent a ring consisting of a total of 5-7 atoms in the backbone of said ring; of which atoms zero, one or two atoms are heteroatoms; and said ring is substituted or unsubstituted;

R_{80} represents an unsubstituted or substituted aryl, a cycloalkyl, a cycloalkenyl, a heterocycle, or a polycycle;

m is an integer in the range 0 to 8 inclusive;

the ligand, when chiral, is a mixture of enantiomers or a single enantiomer; and

the base is selected from the set consisting of carbonates, phosphates, fluorides, alkoxides, amides, carbanions, and silyl anions.

54. **(previously presented)** The method of claim 53, wherein

the transition metal is palladium; and

the base is an alkoxide, amide, phosphate, or carbonate.

55. **(previously presented)** The method of claim 53 or 54, wherein:

X is NR_2, and Y represents $P(alkyl)_2$ or $P(cycloalkyl)_2$; and

X represents Cl or Br.

56. **(previously presented)** The method of claim 53, wherein

X represents Cl or Br;

the transition metal is palladium; and

the base is an alkoxide, amide, carbonate, phosphate, or fluoride.

57. **(previously presented)** The method of claim 53, wherein

R_1 and R_2 are absent; Y represents PCy_2, and X represents NMe_2; and

X represents Cl.

58. **(previously presented)** The method of claim 53, wherein R_1, R_2, R_3, R_4, R_5, R_6, R_7, and R_8 represent hydrogen; Y represents $P(t\text{-}Bu)_2$ or PCy_2; X represents NMe_2; the transition metal is palladium; and the base is cesium fluoride or potassium fluoride.

59. **(original)** The method of claim 53, wherein the product is provided in a yield of greater than 50%.

60. **(original)** The method of claim 53, wherein the product is provided in a yield of greater than 70%.

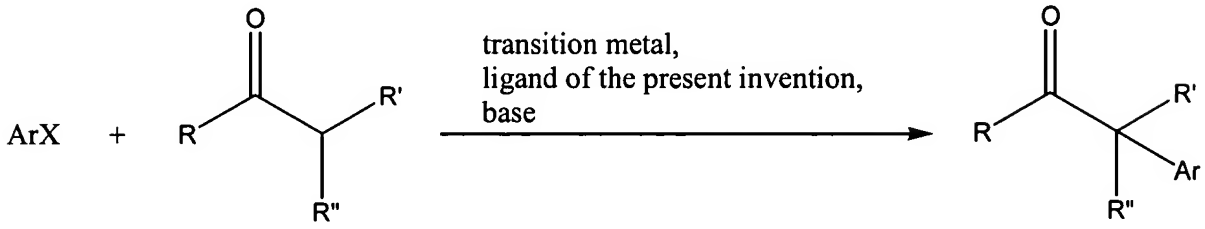
61. **(original)** The method of claim 53, wherein the product is provided in a yield of greater than 85%.

62. **(original)** The method of claim 53, wherein the reaction occurs at ambient temperature.

63. **(original)** The method of claim 53, wherein the catalyst complex is present in less than 0.01 mol% relative to the limiting reagent.

64. **(original)** The method of claim 53, wherein the catalyst complex is present in less than 0.0001 mol% relative to the limiting reagent.

65. **(previously presented)** The method depicted in Scheme 4:



Scheme 4

wherein

Ar is selected from the group consisting of optionally substituted monocyclic and polycyclic aromatic and heteroaromatic moieties;

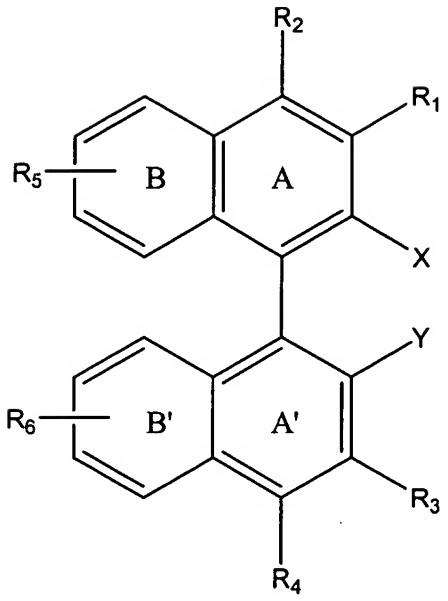
R, R', and R" are selected, independently for each occurrence, from the group consisting of H, alkyl, heteroalkyl, aralkyl, aryl, heteroaryl;

X is selected from the group consisting of Cl, Br, I, $-OS(O)_2$alkyl, and $-OS(O)_2$aryl;

Ar and one of R, R', and R" may be covalently linked;

the transition metal is selected from the group consisting of the Group VIIIA metals;

the ligand is selected from the group consisting of a compound represented by **3**:



3

wherein

X and Y represent, independently for each occurrence, NR_2, PR_2, AsR_2, OR, or SR;

R, R_1, R_2, R_3, and R_4, for each occurrence, independently represent hydrogen, halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxyl, silyloxy, amino, nitro, sulfhydryl, alkylthio, imine, amide, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, nitrile, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, azide, aziridine, carbamate, epoxide, hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea, thiourea, or $-(CH_2)_m-R_{80}$;

R_5 and R_6, for each occurrence, independently represent halogen, alkyl, alkenyl, alkynyl, hydroxyl, alkoxyl, silyloxy, amino, nitro, sulfhydryl, alkylthio, imine, amide, phosphoryl, phosphonate, phosphine, carbonyl, carboxyl, carboxamide, anhydride, silyl, thioalkyl, alkylsulfonyl, arylsulfonyl, selenoalkyl, ketone, aldehyde, ester, heteroalkyl, nitrile, guanidine, amidine, acetal, ketal, amine oxide, aryl, heteroaryl, azide, aziridine,

carbamate, epoxide, hydroxamic acid, imide, oxime, sulfonamide, thioamide, thiocarbamate, urea, thiourea, or $-(CH_2)_m-R_{80}$;

the B and B' rings of the binaphthyl core independently may be unsubstituted or substituted with R_5 and R_6, respectively, any number of times up to the limitations imposed by stability and the rules of valence;

R_1 and R_2, and/or R_3 and R_4, taken together optionally represent a ring consisting of a total of 5-7 atoms in the backbone of said ring; of which atoms zero, one or two atoms are heteroatoms; and said ring is substituted or unsubstituted;

R_{80} represents an unsubstituted or substituted aryl, a cycloalkyl, a cycloalkenyl, a heterocycle, or a polycycle;

m is an integer in the range 0 to 8 inclusive;

the ligand, when chiral, is a mixture of enantiomers or a single enantiomer; and

the base is selected from the set consisting of carbonates, phosphates, fluorides, alkoxides, amides, carbanions, and silyl anions.

66. **(previously presented)** The method of claim 65, wherein

the transition metal is palladium; and

the base is an alkoxide, amide, phosphate, or carbonate.

67. **(previously presented)** The method of claim 65 or 66, wherein

X is NR_2, and Y represents $P(alkyl)_2$ or $P(cycloalkyl)_2$; and

X represents Cl or Br.

68. **(previously presented)** The method of claim 65, wherein

X represents Cl or Br;

the transition metal is palladium; and

the base is an alkoxide, or amide.

69. **(previously presented)** The method of claim 65, wherein

R_1 and R_2 are absent; Y represents PCy_2, and X represents NMe_2.

70. **(original)** The method of claim 65, wherein

X represents Br; and

the reaction occurs at room temperature.

71. **(previously presented)** The method of claim 65, wherein R_1, R_2, R_3, R_4, R_5, R_6, R_7, and R_8 represent hydrogen; Y represents $P(t\text{-}Bu)_2$ or PCy_2; X represents NMe_2; the transition metal is palladium; and the base is cesium fluoride or potassium fluoride.

72. **(original)** The method of claim 65, wherein the product is provided in a yield of greater than 50%.

73. **(original)** The method of claim 65, wherein the product is provided in a yield of greater than 70%.

74. **(original)** The method of claim 65, wherein the product is provided in a yield of greater than 85%.

75. **(original)** The method of claim 65, wherein the reaction occurs at ambient temperature.

76. **(original)** The method of claim 65, wherein the catalyst complex is present in less than 0.01 mol% relative to the limiting reagent.

77. **(original)** The method of claim 65, wherein the catalyst complex is present in less than 0.0001 mol% relative to the limiting reagent.

78. **(canceled)**

79. **(previously presented)** The method of claim 21, 41, 53, or 65, wherein X is chloride.

Claims 80-87 **(canceled)**